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(19)(CA) **CANADIAN PATENT** (12)

(54) Pharmacological/Cosmetic Preparation Containing Plant Extracts

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(73) Same as inventor

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ABSTRACT

A pharmacologically effective or cosmetic substance for external application to treat e.g. acne, pimples, ulcers, cold sores. The substance includes an extract from plants of the grass family of plants particularly cereals, the extract including juice from green components of the plants at the unjointed stage. The extract is carried in a pharmaceutically acceptable aqueous carrier or excipient, the carrier preserving the extract against deterioration and being capable of at least partial absorption by tissues so as to carry the extract to sub-surface tissues. An anti-microbial agent is included. The substance may comprise ascorbic acid and beta-carotene, both present in the range 0.1 to 10 mg per gram of the substance. Biotin, trypsin and chlorophyll are also present.

PHARMACOLOGICAL/COSMETIC PREPARATION

This invention relates to substances and processes for cosmetic or medicinal treatment.

It is known to extract the juice of cereal grasses and to drink this juice as a source of dietary nutrients. The juice can be freshly extracted, previously frozen, or reconstituted juice from dehydrated cereal grass extract. Dehydrated extract from cereal grass leaves has been pressed into tablets for direct consumption or incorporation into foods and beverages. However these extracts have not been effectively used for medicinal or cosmetic purposes.

It is an object of the present invention to provide a pharmacologically effective substance derived from cereal plants.

According to the present invention there is provided a pharmacologically effective substance for external application, the substance including an extract consisting of a pharmaceutically acceptable and substantially bacteria-free liquid comprising water and substantially only water soluble components from a juice which has been freshly derived from plants at the unjointed stage of plant development, the plants being selected from barley, wheat, oats, rice, rye and other cereal plants,

(a) the derivation of the juice being by a squeezing, crushing and/or grinding process,

(b) the extraction being carried out under sterile conditions and/or the extract being treated to prevent or inhibit growth, reproduction or activity of contaminating micro-organisms,

(c) the extract being carried in a pharmaceutically acceptable base carrier or excipient, the carrier preserving the extract against deterioration and being capable of at least partial absorption by tissues so as to carry the extract to sub-surface tissues.

Extracts from barley, wheat and rye have been found to be effective. The wheat may comprise Triticum vulgare or aestivum, T. durum, or T. compactum. Corn, rice, oats, maize, sorghum and millet may also be effective.

Preferably the extract is derived from the green leafy part of the plant, or at least principally from this part of the plant, although additional green parts such as stalk may be included. together with parts such as root, seed, sprouted seed. The leaves of the plant are preferably treated to yield the juice before the plant reaches flowering or seed production stage of development. That is , the plant is at its unjointed or immature development stage.

The extraction is carried out by squeezing, crushing and/or grinding processes, and preferably not by a cutting process.

The plant extract may be concentrated before mixing with the carrier. For example, substantially all the liquid content of the plant extract may be removed.

Other possible stabilisation processes for the juice include partial concentration of the derived juice to provide a concentrated liquid, and blending the derived juice with a preserving agent forming an ingredient of the carrier.

Preferably the stabilisation or mixing with the carrier or both is carried out within a short time and preferably within a

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matter of hours after extraction. Preferably this time is two hours.

The carrier for the extract may be any suitable material such as a cream, lotion, oil, gel or powder. For example the carrier may comprise a vanishing cream which is intended to be absorbed through the skin when externally applied so as to thereby carry the plant extract into sub-cutaneous tissue. A water based or aqueous carrier capable of carrying water soluble ingredients to sub-surface tissues is preferred.

It is believed that the following base creams and ointments may be suitable carriers although the fifth possible carrier may be susceptible to cracking due to incompatibility between the extract according to the present invention and the carrier.

1) Chlorhexidine cream aqueous A.P.F. supplied by Sigma.

2) Aqueous cream B.P. supplied by Sigma.

3) Cetomacrogol cream (Sorbolene cream) aqueous A.P.F. 79 supplied by McGloin's.

4) Simple ointment (white) B.P. supplied by Sigma.

5) Cetrimide cream aqueous A.P.F. supplied by McGloin's.

Note: A.P.F. = Australian Pharmacopoeia Formulae

B.P. = British Pharmacopoeia

Preferably the carrier includes an anti-microbial agent so as to kill or at least inhibit growth, reproduction or activity of contaminating organisms that may be present in the plant extract or may be introduced during production of the substance. Preferably the anti-microbial agent is an anti-bacterial agent. In addition or alternatively the agent may have anti-fungal and anti-yeast properties. The

anti-microbial agent may be added to the substance during production or may be present in the carrier if the carrier for example is a standard commercially available blend. The anti-microbial agent is preferably active to inhibit any activity of organisms and thereby is operative to inhibit spoilage of the substance, e.g. spoilage of the product when being stored by the user or by a commercial outlet.

If the anti-microbial is not provided, it is preferred that the extract is substantially sterile when mixed with the carrier. The plants from which the extract is derived may be grown hydroponically for example under sterile conditions to prevent the introduction of micro-organisms at that stage. The subsequent harvesting and processing may also be carried out under sterile conditions.

It has been found that a suitable carrier is Cetomacrogol emulsion having a typical analysis (by weight):

wax	15%
paraffin liquid	10%
paraffin soft white	10%
Chlorocresol	0.1%
propylene glycol	5%
water	balance to 100

The Chlorocresol is an anti-bacterial agent which is effective as an anti-microbial agent as described above.

The Cetomacrogol emulsion is believed to be effective since the plant extract ingredients will be dissolved or suspended in the water component. The propylene glycol is a surface active agent enhancing emulsification. The fatty or oily ingredients enhance the texture for skin surface

application. Sorbolene can be included as a stabilising agent.

The ratio of the extract to the carrier may be anywhere within a large range of possible ratios. For example the ratio of base carrier to plant extract (and other additives if provided) may be anywhere between 1 to 5 and 200 to 1 (by weight). A range of 1 to 30% by weight of extract is preferred. About 10% by weight of extract has been found effective.

In analysing and testing substances including cereal plant derived extracts, it has been found that several ingredients of the substance are identifiable and may be active.

One ingredient identified is ascorbic acid. This ingredient may be present in the range of 0.01 - 10 mg (and preferably 0.1 to 1.0 mg) per gram of substance.

Other preferred ingredients include biotin in the range 0.005 - 0.5 mg (and preferably 0.01 to 0.2 mg) per gram and trypsin in the range 10 to 10,000 U (and preferably in the range 100 to 5,000 U) per gram.

A further possible ingredient is a colouring marker substance which, when the substance is applied externally, indicates the presence of the substance and while remaining visible indicates that the substance has been insufficiently worked into the skin.

A typical analysis of a substance derived from plant matter, is:

ascorbic acid	0.13 mg
biotin	0.048 mg
trypsin	1000 U
Chlorocresol	about 1 g
chlorophyll	1.4 mg

balance carrier substance with possible inclusion of other active ingredients.

Preferably the substance has a generally neutral pH in the range 6.0 to 8.0. For example, the pH may be in the range 6.5 to 7.5. Analyses have shown a pH in the range 7 to 7.3.

The composition outlined above can be made up in Cetomacrogol cream. This substance has been found to be suitable for application externally to the skin and has been found effective in the treatment of cold sores.

The mechanism of the action of the substance has not been determined. The identified constituents of the cream are believed to be important in maintaining normal skin function or in aiding wound healing. Ascorbic acid (vitamin C) is required for collagen synthesis. It is believed that there may be a synergistic effect in operation.

The substances according to the present invention are suitable for cosmetic uses, medicinal uses and pharmaceutical uses.

The following examples give basic summaries of tests carried out using dried powdered extracts of cereal plants. However, the present invention is not limited to any of the specific particulars given in the following examples.

Example 1

Sprouted wheat grass was treated to yield the juice which was prepared to provide unjointed, dehydrated wheat grass tablets, which were purchased commercially at a health food store. These tablets had been coated or mixed with non-animal tableting aids. The tablets were comminuted and mixed with Cetomacrogol cream (Sorbolene cream) aqueous A.P.F. 79 supplied by McGloin's. This preparation was externally applied to a cold

sore which healed effectively in three days compared to the two or more weeks normal healing time for the person who was treated.

Example 2

Dried barley grass juice in powder form was mixed with a carrier or excipient and the preparation was applied to multiple surface eruptions. The treated eruptions receded while the untreated eruptions showed no substantial improvement in the same time period.

It has been found that or is postulated that the preferred substances can be effective in the surface or topical treatment of pimples and acne, minor burns including sunburn, eczema, cracked (fissured) skin, chafed nipples, thrush and vaginal itch, psoriasis, tinea, herpes 1, 2, and 3 (cold sores, genital herpes and herpes zoster or shingles), muscle rub, inflamed joints, piles, anal itch, genital warts, contusions, bruises, scalp treatment including hair tonic uses, gum or mouth lesions and ulcers other surface lesions. Apart from direct physical application involving working the substance into the tissues, it is also believed that the substance can be used for preparations for use as a bathing additive or as a wash including as a mouth wash say for treatment of mouth ulcers or lesions.

It has furthermore been found that the substances may be effective in inhibiting colds and influenza when applied to the nasal mucosa externally, i.e. around the sinus area and the base of the nostrils. The application of the substance to these areas has been carried out daily in test subjects over a significant period. The substances are preferred for external use only since internal application, e.g. to the nasal tissues,

may cause discomfort and irritation. It is believed that the substances when externally applied are very slowly taken up by the tissues and in fact do reach the nasal mucous tissues but at very low rates due to the method of administration.

Although external application to reach nasal mucous tissues has been found preferred, the substances can however be directly applied to the vaginal and anal mucous tissues.

A method of treating skin or mucous tissue, blemishes, infections, eruptions or lesions comprises externally applying a substance according to the invention to the surface area to be treated and working the substance into the tissues at the area to be treated.

A possible method of treating colds, influenza or sinus infections comprises externally applying substance according to the invention to the exterior surface of the user's nose and working the substance into the surface nasal tissues, and repeating the application of the substance at daily or more frequent intervals.

The wide range of possible fields of use of the substances are believed to indicate the possibility of effective activity being induced or augmented in the immune system. General supply to tissues of several ingredients, including organic and inorganic substances and electrolytes may be effectively supplementing or boosting body defence or immune mechanisms.

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CLAIMS

1. A pharmacologically effective substance for external application, the substance including an extract consisting of a pharmaceutically acceptable and substantially bacteria-free liquid coprising water and substantially only water soluble components from a juice which has been freshly derived from plants at the unjointed stage of plant development, the plants being selected from barley, wheat, oats, rice, rye and other cereal plants,

(a) the derivation of the juice being by a squeezing, crushing and/or grinding process,

(b) the extraction being carried out under sterile conditions and/or the extract being treated to prevent or inhibit growth, reproduction or activity of contaminating micro-organisms,

(c) the extract being carried in a pharmaceutically acceptable base carrier or excipient, the carrier preserving the extract against deterioration and being capable of at least partial absorption by tissues so as to carry the extract to sub-surface tissues.

2. A substance as claimed in Claim 1 wherein the juice is stabilized within two hours of derivation from the plants, the stabilization consisting of a process selected from:

(i) the process of deriving the juice to provide a concentrated liquid comprising said water soluble components in water, and

(ii) the process of blending the juice with a preserving agent.

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3. A substance as claimed in Claim 1 wherein the carrier is a water based carrier capable of carrying said water soluble components to sub-surface tissues when applied to a user's skin.

4. A substance as claimed in Claim 2 wherein the carrier is a water based carrier capable of carrying said water soluble components to sub-surface tissues when applied to a user's skin.

5. A substance as claimed in Claim 2 wherein the substance is maintained in a pH range of 6.0 to 8.0.

6. A substance as claimed in Claim 1 wherein an anti-microbial agent is present in the pharmaceutically acceptable base carrier or excipient.

7. A substance as claimed in Claim 1 which includes ascorbic acid.

8. A substance as claimed in Claim 7 wherein the ascorbic acid is present in proportion of 0.1 to 10 mg per gram of the substance.

9. A substance as claimed in Claim 8 and further including biotin present in the range of 0.01 to 0.5 mg per gram of the substance.

10. A substance as claimed in Claim 8 and further including trypsin present in the range of 100 to 10,000 U per gram of the substance.

11. A substance as claimed in Claim 1 wherein the juice is derived at least principally from the green leafy part of the plants.

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